=> fil reg
FILE 'REGISTRY' ENTERED AT 15:53:00 ON 09 JUL 2002
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STRUCTURE FILE UPDATES: 8 JUL 2002 HIGHEST RN 437701-77-4 DICTIONARY FILE UPDATES: 8 JUL 2002 HIGHEST RN 437701-77-4

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

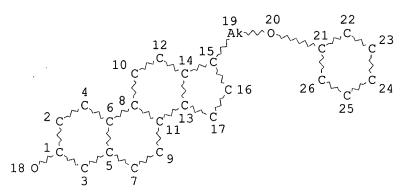
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d sta que 19 L2 S

L2 SCR 1992 L6 STR



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

L8 116 SEA FILE=REGISTRY SSS FUL L2 AND L6

L9 14 SEA FILE=REGISTRY ABB=ON PLU=ON 4432.3.65/RID AND 46.150.18/R ID AND L8

=> d his 19-

(FILE 'REGISTRY' ENTERED AT 15:44:48 ON 09 JUL 2002) SAV L8 QAZI780/A

L9 14 S 4432.3.65/RID AND 46.150.18/RID AND L8

FILE 'HCAOLD' ENTERED AT 15:50:45 ON 09 JUL 2002 L10 0 S L9

FILE 'HCAPLUS' ENTERED AT 15:50:48 ON 09 JUL 2002

L11 4 S L9

L12 4 S L11 AND (PETERS ? OR LIU ? OR JOHANSSON ? OR RYAN ? OR CHAO ?

L13 3 S L11 AND SRI?/PA,CS

L14 4 S L11-L13

FILE 'USPATFULL, USPAT2' ENTERED AT 15:52:38 ON 09 JUL 2002 L15 5 S L9

FILE 'REGISTRY' ENTERED AT 15:53:00 ON 09 JUL 2002

=> d ide can tot 19

L9 ANSWER 1 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 355861-40-4 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 7-methyl-21-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, (7.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H47 N O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

L9 ANSWER 2 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 355861-39-1 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[2-(diethylamino)ethoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H47 N O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

L9 ANSWER 3 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 354808-47-2 REGISTRY

CN Benzamide, N, N-diethyl-4-[[(7.alpha.)-3-hydroxy-7-methyl-19-norpregna-1,3,5(10)-trien-21-yl]oxy]-3-methoxy-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN SR 16234

FS STEREOSEARCH

MF C33 H45 N O4 . C6 H8 O7

SR CA

LC STN Files: BIOSIS, CA, CAPLUS, DRUGNL, DRUGUPDATES, TOXCENTER, USPATFULL

CM 1

CRN 354808-46-1 CMF C33 H45 N O4

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

#### REFERENCE 1: 135:180905

L9 ANSWER 4 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 354808-46-1 REGISTRY

CN Benzamide, N, N-diethyl-4-[[(7.alpha.)-3-hydroxy-7-methyl-19-norpregna-1,3,5(10)-trien-21-yl]oxy]-3-methoxy- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN SR 16233

FS STEREOSEARCH

MF C33 H45 N O4

CI COM

SR CA

LC STN Files: CA, CAPLUS, DRUGUPDATES, TOXCENTER, USPATFULL

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:180905

L9 ANSWER 5 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 354758-98-8 REGISTRY

CN Benzamide, N, N-diethyl-3-methoxy-4-[[(7.alpha.)-7-methyl-3[(methylsulfonyl)oxy]-19-norpregna-1,3,5(10)-trien-21-yl]oxy]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H47 N O6 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:180905

L9 ANSWER 6 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 354758-87-5 REGISTRY

CN Benzamide, N,N-diethyl-3-methoxy-4-[[3-(1-methylethoxy)-6-oxo-19-norpregna-1,3,5(10)-trien-21-yl]oxy]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C35 H47 N O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

## REFERENCE 1: 135:180905

L9 ANSWER 7 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 354758-86-4 REGISTRY

CN Benzamide, N, N-diethyl-3-methoxy-4-[[(7.alpha.)-7-methyl-3-(1-methylethoxy)-6-oxo-19-norpregna-1,3,5(10)-trien-21-yl]oxy]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C36 H49 N O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 135:180905

L9 ANSWER 8 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 229634-98-4 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H47 N O3 . C6 H8 O7

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 229634-97-3 CMF C33 H47 N O3

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

REFERENCE 3: 131:88084

L9 ANSWER 9 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 229634-97-3 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H47 N O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

REFERENCE 3: 131:88084

L9 ANSWER 10 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 229634-96-2 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(dimethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C31 H43 N O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

REFERENCE 3: 131:88084

L9 ANSWER 11 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 229634-95-1 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-(1-pyrrolidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H45 N O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

## Absolute stereochemistry.

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

REFERENCE 3: 131:88084

L9 ANSWER 12 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 229634-94-0 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-[(4-methyl-1-piperazinyl)methyl]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H48 N2 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

REFERENCE 3: 131:88084

L9 ANSWER 13 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 229634-93-9 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H47 N O3 . C6 H8 O7

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 229634-92-8 CMF C34 H47 N O3

CM 2.

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{C}-\text{CH}_2-\text{CO}_2\text{H}} \\ \text{OH} \end{array}$$

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

REFERENCE 3: 131:88084

L9 ANSWER 14 OF 14 REGISTRY COPYRIGHT 2002 ACS

RN 229634-92-8 REGISTRY

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H47 N O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:232440

REFERENCE 2: 135:195698

REFERENCE 3: 131:88084

#### => fil uspatall

FILE 'USPATFULL' ENTERED AT 15:53:14 ON 09 JUL 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:53:14 ON 09 JUL 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

#### => d l15 bib abs hitstr tot

L15 ANSWER 1 OF 5 USPATFULL

AN 2002:55018 USPATFULL

TI Anti-estrogenic steroids, and associated pharmaceutical compositions and methods of use

IN Tanabe, Masato, Palo Alto, CA, UNITED STATES
Peters, Richard H., San Jose, CA, UNITED STATES
Chao, Wan-Ru, Sunnyvale, CA, UNITED STATES
Jong, Ling, Sunnyvale, CA, UNITED STATES

PI US 2002032181 A1 20020314

AI US 2001-918890 A1 20010730 (9)

RLI Division of Ser. No. US 1998-220408, filed on 24 Dec 1998, GRANTED, Pat. No. US 6281205 Continuation-in-part of Ser. No. US 1997-998877, filed on 24 Dec 1997, GRANTED, Pat. No. US 6054446

DT Utility

FS APPLICATION

LREP REED & ASSOCIATES, 800 MENLO AVENUE, SUITE 210, MENLO PARK, CA, 94025

CLMN Number of Claims: 41
ECL Exemplary Claim: 1
DRWN 3 Drawing Page(s)

LN.CNT 3381

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Novel anti-estrogenic compounds are provided which are useful to treat a variety of disorders, particularly estrogen-dependent disorders. Preferred compounds have a 1,3,5-estratriene nucleus, and are substituted at the C-17 or C-11 position with a molecular moiety which renders the compounds effective to competitively block the binding of estrogen to its receptor. Particularly preferred compounds are 17-desoxy-1,3,5-estratrienes. Therapeutic methods and pharmaceutical compositions are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 229634-92-8P 229634-97-3P

(prepn. of novel antiestrogenic steroids)

RN 229634-92-8 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-97-3 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

# IT 229634-93-9P 229634-94-0P 229634-95-1P 229634-96-2P 229634-98-4P

(prepn. of novel antiestrogenic steroids)

RN 229634-93-9 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-92-8 CMF C34 H47 N O3

Absolute stereochemistry.

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C}-\text{CH}_2-\text{C}-\text{CH}_2-\text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

RN 229634-94-0 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-[(4-methyl-1-piperazinyl)methyl]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-95-1 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-(1-pyrrolidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-96-2 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(dimethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-98-4 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-97-3 CMF C33 H47 N O3

Absolute stereochemistry.

CM 2

CRN 77-92-9 CMF C6 H8 O7

```
СО2H
|
HO2C-CH2-C-CH2-CO2H
|
OH
```

L15 ANSWER 2 OF 5 USPATFULL 2002:55017 USPATFULL ΑN Novel anti-estrogenic steroids, and associated pharmaceutical TI. compositions and methods of use IN Tanabe, Masato, Palo Alto, CA, UNITED STATES Peters, Richard H., San Jose, CA, UNITED STATES Chao, Wan-Ru, Sunnyvale, CA, UNITED STATES Jong, Ling, Sunnyvale, CA, UNITED STATES PΙ US 2002032180 Α1 20020314 US 2001-872825 A1 20010531 (9) AΙ RLI Continuation-in-part of Ser. No. US 1998-220408, filed on 24 Dec 1998, GRANTED, Pat. No. US 6281205 Continuation-in-part of Ser. No. US 1997-998877, filed on 24 Dec 1997, GRANTED, Pat. No. US 6054446 DT Utility FS APPLICATION REED & ASSOCIATES, 800 MENLO AVENUE, SUITE 210, MENLO PARK, CA, 94025 LREP Number of Claims: 41 Exemplary Claim: 1 ECL DRWN 3 Drawing Page(s) LN.CNT 3369 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Novel anti-estrogenic compounds are provided which are useful to treat a variety of disorders, particularly estrogen-dependent disorders. Preferred compounds have a 1,3,5-estratriene nucleus, and are substituted at the C-17 or C-11 position with a molecular moiety which renders the compounds effective to competitively block the binding of

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 229634-92-8P 229634-97-3P

(prepn. of antiestrogenic steroids)

compositions are provided as well.

RN 229634-92-8 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

estrogen to its receptor. Particularly preferred compounds are 17-desoxy-1,3,5-estratrienes. Therapeutic methods and pharmaceutical

RN 229634-97-3 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 229634-93-9P 229634-94-0P 229634-95-1P 229634-96-2P 229634-98-4P

(prepn. of antiestrogenic steroids)

RN 229634-93-9 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-92-8 CMF C34 H47 N O3

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} & \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

RN 229634-94-0 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-[(4-methyl-1-piperazinyl)methyl]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-95-1 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-(1-pyrrolidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-96-2 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(dimethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-98-4 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-97-3 CMF C33 H47 N O3

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

## IT 355861-39-1P 355861-40-4P

(prepn. of antiestrogenic steroids)

RN 355861-39-1 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[2-(diethylamino)ethoxy]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 355861-40-4 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 7-methyl-21-[4-[2-(1-

piperidinyl)ethoxy]phenoxy]-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
ANSWER 3 OF 5 USPATFULL
L15
ΑN
       2001:200162 USPATFULL
ΤI
       Synthesis of anti-estrogenic and other therapeutic steroids from
       21-hydroxy-19-norpregna-4-en-3-one
       Peters, Richard H., San Jose, CA, United States
IN
       Liu, Jyanwei, Sunnyvale, CA, United States
       Johansson, John G., Menlo Park, CA, United States
       Ryan, Kenneth J., Sunnyvale, CA, United States
       Chao, Wan-Ru, Sunnyvale, CA, United States
       Tanabe, Masato, Palo Alto, CA, United States
PΙ
       US 2001039269
                          A1
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       US 2001-780990
                               20010209 (9)
ΑT
                          Α1
      ·US 2000-181738P
                           20000211 (60)
PRAI
DT
       Utility
FS
       APPLICATION
       REED & ASSOCIATES, 800 MENLO AVENUE, SUITE 210, MENLO PARK, CA, 94025
LREP
       Number of Claims: 44
CLMN
ECL
       Exemplary Claim: 1
DRWN
       23 Drawing Page(s)
LN.CNT 1857
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Syntheses of steroids such as 3-hydroxy-7.alpha.-methyl-21-[2'-methoxy-
AB
       4'-(diethylaminomethyl)-phenoxy]-19-norpregna-1,3,5(10)triene citrate
       ("SR 16234") and analogs thereof are provided, wherein
       21-hydroxy-19-norpregna-4-en-3-one serves as a starting material or
       intermediate. The latter compound may be readily prepared from
       estrone-3-methyl ether. Certain intermediates in these syntheses also
       have value as therapeutic agents, for example in the treatment of
```

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 354808-46-1P, SR 16233

(prepn. of antiestrogenic steroids)

prostate disorders such as prostatic cancer.

RN 354808-46-1 USPATFULL

CN Benzamide, N, N-diethyl-4-[[(7.alpha.)-3-hydroxy-7-methyl-19-norpregna-1,3,5(10)-trien-21-yl]oxy]-3-methoxy- (9CI) (CA INDEX NAME)

IT 354808-47-2P, SR 16234

(prepn. of antiestrogenic steroids)

RN 354808-47-2 USPATFULL

CN Benzamide, N,N-diethyl-4-[[(7.alpha.)-3-hydroxy-7-methyl-19-norpregna-1,3,5(10)-trien-21-yl]oxy]-3-methoxy-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 354808-46-1 CMF C33 H45 N O4

Absolute stereochemistry.

CM 2

CRN 77-92-9 CMF C6 H8 O7

## IT 354758-86-4P 354758-87-5P 354758-98-8P

(prepn. of antiestrogenic steroids)

RN 354758-86-4 USPATFULL

CN Benzamide, N, N-diethyl-3-methoxy-4-[[(7.alpha.)-7-methyl-3-(1-methylethoxy)-6-oxo-19-norpregna-1,3,5(10)-trien-21-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 354758-87-5 USPATFULL

CN Benzamide, N, N-diethyl-3-methoxy-4-[[3-(1-methylethoxy)-6-oxo-19-norpregna-1,3,5(10)-trien-21-yl]oxy]- (9CI) (CA INDEX NAME)

RN 354758-98-8 USPATFULL

CN Benzamide, N, N-diethyl-3-methoxy-4-[[(7.alpha.)-7-methyl-3[(methylsulfonyl)oxy]-19-norpregna-1,3,5(10)-trien-21-yl]oxy]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 4 OF 5 USPATFULL

AN 2001:142341 USPATFULL

TI Anti-estrogenic steroids, and associated pharmaceutical compositions and

methods of use

IN Tanabe, Masato, Palo Alto, CA, United States Peters, Richard H., San Jose, CA, United States Chao, Wan-Ru, Sunnyvale, CA, United States

Jong, Ling, Sunnyvale, CA, United States

PA SRI International, Menlo Park, CA, United States (U.S. corporation)

PI US 6281205 B1 20010828

AI US 1998-220408 19981224 (9)

RLI Continuation-in-part of Ser. No. US 1997-998877, filed on 24 Dec 1997,

now patented, Pat. No. US 6054446, issued on 25 Apr 2000

DT Utility FS GRANTED

EXNAM Primary Examiner: Badio, Barbara P. LREP Reed, Dianne E.Reed & Associates

CLMN Number of Claims: 16 ECL Exemplary Claim: 1

DRWN 3 Drawing Figure(s); 3 Drawing Page(s)

LN.CNT 3164

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel anti-estrogenic compounds are provided which are useful to treat a variety of disorders, particularly estrogen-dependent disorders. Preferred compounds have a 1,3,5(10)-estratriene nucleus, and are substituted at the C-17 or C-11 position with a molecular moiety which renders the compounds effective to competitively block the binding of estrogen to its receptor. Particularly preferred compounds are 17-desoxy-1,3,5(10)-estratrienes. Therapeutic methods and pharmaceutical compositions are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 229634-92-8P 229634-97-3P

(prepn. of antiestrogenic steroids)

RN 229634-92-8 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-97-3 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

IT 229634-93-9P 229634-94-0P 229634-95-1P 229634-96-2P 229634-98-4P 355861-39-1P 355861-40-4P

(prepn. of antiestrogenic steroids)

RN 229634-93-9 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-92-8 CMF C34 H47 N O3

Absolute stereochemistry.

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ \mid \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ \mid \\ \text{OH} \end{array}$$

RN 229634-94-0 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-[(4-methyl-1-piperazinyl)methyl]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-95-1 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-(1-pyrrolidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-96-2 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(dimethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-98-4 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-97-3 CMF C33 H47 N O3

Absolute stereochemistry.

·CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} {\rm CO_2H} \\ | \\ {\rm HO_2C-CH_2-C-CH_2-CO_2H} \\ | \\ {\rm OH} \end{array}$$

RN 355861-39-1 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[2-(diethylamino)ethoxy]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 355861-40-4 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 7-methyl-21-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 5 OF 5 USPATFULL

AN 2000:50696 USPATFULL

TI Anti-estrogenic steroids, and associated pharmaceutical compositions and methods of use

ΙN Tanabe, Masato, Palo Alto, CA, United States Peters, Richard H., San Jose, CA, United States Chao, Wan-Ru, Sunnyvale, CA, United States Jong, Ling, Sunnyvale, CA, United States PA SRI International, Menlo Park, CA, United States (U.S. corporation) PΙ US 6054446 20000425

ΑI US 1997-998877

DT

19971224 (8)

Utility FS Granted

**EXNAM** Primary Examiner: Dees, Jose' G.; Assistant Examiner: Badio, Barbara

Reed, Dianne E.Reed & Associates LREP

CLMN Number of Claims: 25 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2399

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Novel anti-estrogenic compounds are provided which are useful to treat a AΒ variety of disorders, particularly estrogen-dependent disorders. Preferred compounds have a 1,3,5-estratriene nucleus, and are substituted at the C-17 or C-11 position with a molecular moiety which renders the compounds effective to competitively block the binding of estrogen to its receptor. Particularly preferred compounds are 17-desoxy-1,3,5-estratrienes. Therapeutic methods and pharmaceutical compositions are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

229634-92-8P 229634-97-3P

(prepn. of novel antiestrogenic steroids)

RN 229634-92-8 USPATFULL

CN 19-Norpregna-1, 3, 5(10)-trien-3-ol, 21-[3-methoxy-4-(1piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

229634-97-3 USPATFULL RN

19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-CN methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

## IT 229634-93-9P 229634-94-0P 229634-95-1P 229634-96-2P 229634-98-4P

(prepn. of novel antiestrogenic steroids)

RN 229634-93-9 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-92-8 CMF C34 H47 N O3

Absolute stereochemistry.

CM 2

CRN 77-92-9 CMF C6 H8 O7

RN 229634-94-0 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-[(4-methyl-1-piperazinyl)methyl]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-95-1 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-(1-pyrrolidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-96-2 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(dimethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-98-4 USPATFULL

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-97-3 CMF C33 H47 N O3

Absolute stereochemistry.

CM 2

CRN 77-92-9 CMF C6 H8 O7

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FILE COVERS 1907 - 9 Jul 2002 VOL 137 ISS 2 FILE LAST UPDATED: 8 Jul 2002 (20020708/ED)

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CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d 114 bib abs hitstr tot retable

L14 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2002 ACS

AN 2002:185695 HCAPLUS

DN 136:232440

TI Preparation of novel anti-estrogenic steroids

IN Tanabe, Masato; Peters, Richard H.; Chao,
Wan-ru; Jong, Ling

PA USA

SO U.S. Pat. Appl. Publ., 56 pp., Cont.-in-part of U.S. 6,281,205. CODEN: USXXCO

DT Patent

LA English

EVM CMA 3

FAN. CNT 3						
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI US 2002032180	A1	20020314	US 2001-872825	20010531		
US 6054446	Α	20000425	US 1997-998877	19971224		
US 6281205	В1	20010828	US 1998-220408	19981224		
PRAI US 1997-998877	A2	19971224				
US 1998-220408	A2	19981224				
OS MARPAT 136:232440	)					
GI						

$$R^9$$
 $R^9$ 
 $R^10$ 
 $X^1$ 
 $R^1$ 
 $R^2$ 
 $R^3$ 
 $R^4$ 
 $R^2$ 
 $R^3$ 
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 $R^4$ 
 $R^4$ 

AB Novel antiestrogenic compds., e.g. of formula I [X = hydrocarbon contg. O, S or N, etc.; X1 = H, hydrocarbon contg. O, S or N, etc.; XX1 = heterocycle; Y = C, N; R1 = H, alkyl, halo, etc.; R2 = H, OH, alkyl, alkenyl, aryl, etc.; R3 = H, OH, CN, alkyl, etc.; R4 = H, alkyl; R5 = H, alkoxy, halo, CN, etc.; R6 = H, alkyl, acyl, SO2NH2; R7 = H, halo, nitro, CHO, allyl, etc.; R8 = H, OH, etc.; R9 = H, alkyl; R10 = Me, Et], are prepd. which are useful to treat a variety of disorders, particularly estrogen-dependent disorders. Preferred compds. have a 1,3,5-estratriene nucleus, and are substituted at the C-17 or C-11 position with a mol. moiety which renders the compds. effective to competitively block the binding of estrogen to its receptor. Therapeutic methods and pharmaceutical compns. are provided as well. Thus, II citrate salt was prepd. from 7.alpha.-methyl-17.beta.-hydroxyethyl-1,3,5-estratrien-3-ol, vanillin and diethylamine. II citrate salt showed significant growth suppressive activity against MCF-7 tumor in mice at 10 mg/kg/day.

IT 229634-92-8P 229634-97-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of antiestrogenic steroids)

RN 229634-92-8 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

RN 229634-97-3 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 229634-93-9P 229634-94-0P 229634-95-1P 229634-96-2P 229634-98-4P 355861-39-1P 355861-40-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of antiestrogenic steroids)

RN 229634-93-9 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-92-8 CMF C34 H47 N O3

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c|c} & \text{CO}_2\text{H} \\ & | \\ & \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ & | \\ & \text{OH} \end{array}$$

RN 229634-94-0 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-[(4-methyl-1-piperazinyl)methyl]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

RN 229634-95-1 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-(1-pyrrolidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-96-2 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(dimethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-98-4 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-97-3 CMF C33 H47 N O3

CM 2

CRN 77-92-9 CMF C6 H8 O7

RN 355861-39-1 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[2-(diethylamino)ethoxy]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 355861-40-4 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 7-methyl-21-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, (7.alpha.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2002 ACS

2001:627225 HCAPLUS AN

DN 135:195698

ΤI Preparation of anti-estrogenic steroids, and associated pharmaceutical compositions and methods of use

Tanabe, Masato; Peters, Richard H.; Chao, IN Wan-ru; Jong, Ling

Sri International, USA PΑ

U.S., 50 pp., Cont.-in-part of U.S. 6,054,446. CODEN: USXXAM SO

DT Patent

LA English

FAN.CNT 3

L LTIA .	CIVI				
4	PATENT NO.	KIND	DATE	ÁPPLICATION NO.	DATE
ΡI	US 6281205	B1	20010828	US 1998-220408	19981224
	US 6054446	A	20000425	US 1997-998877	19971224
	US 2002032180	A1	20020314	US 2001-872825	20010531
	US 2002032181	A1	20020314	US 2001-918890	20010730
PRAI	US 1997-998877	A2	19971224		
	US 1998-220408	A2	19981224		
OS	MARPAT 135:19569	8			
GI					

$$\begin{array}{c} \text{Me} \\ \text{R}^{3}\text{O} \\ \text{R}^{2} \\ \text{I} \\ \text{Me} \\ \text{CH}_{2}\text{CH}_{2}\text{O} \\ \text{Me} \\ \text{Me} \\ \text{II} \\ \text{II} \\ \text{II} \\ \text{II} \\ \text{CH}_{2}\text{NMe}_{2} \\ \text{II} \\ \text{R}^{3}\text{O} \\ \text{II} \\ \text{R}^{3}\text{O} \\ \text{R}^{2} \\ \text{II} \\ \text{R}^{3}\text{O} \\ \text{R}^{2} \\ \text{II} \\ \text{R}^{3}\text{O} \\ \text{CH}_{2}\text{CH}_{2}\text{O} \\ \text{CH}_{2}\text{NMe}_{2} \\ \text{II} \\ \text{R}^{3}\text{O} \\ \text{R}^{3}\text{O} \\ \text{CH}_{2}\text{CH}_{2}\text{O} \\ \text{CH}_{2}\text{NMe}_{2} \\ \text{R}^{3}\text{O} \\ \text{CH}_{2}\text{CH}_{2}\text{O} \\ \text{CH}_{2}\text{NMe}_{2} \\ \text{CH}_{2}\text$$

AB Novel antiestrogenic compds. are prepd. which are useful to treat a variety of disorders, particularly estrogen-dependent disorders. Preferred compds. have a 1,3,5(10)-estratriene nucleus, and are substituted at the C-17 or C-11 position with a mol. moiety which renders the compds. effective to competitively block the binding of estrogen to its receptor. Particularly preferred compds. are 17-desoxy-1,3,5(10)-estratrienes, e.g. of formula I [R1 = H, alkoxy, halo, CN, etc.; R2 = H, OH, alkyl, etc.; R3 = H, alkyl, acyl, SO2NH2, etc.; R4, R5 = H, alkyl, heterocyclyl; etc.; L = (substituted) five- or six-membered cyclic moiety; m = 1-6; p = 0-6]. Thus, II citrate salt was prepd. and showed strong growth inhibitory activity against MCF-7 human mammary tumor at 10 mg/kg/day. Therapeutic methods and pharmaceutical compns. are provided as well.

## IT 229634-92-8P 229634-97-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of antiestrogenic steroids)

RN 229634-92-8 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

RN 229634-97-3 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# IT 229634-93-9P 229634-94-0P 229634-95-1P 229634-96-2P 229634-98-4P 355861-39-1P 355861-40-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of antiestrogenic steroids)

RN 229634-93-9 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-92-8 CMF C34 H47 N O3

CM 2

CRN 77-92-9

CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \begin{array}{c} \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

RN 229634-94-0 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-[(4-methyl-1-piperazinyl)methyl]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

RN 229634-95-1 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-(1-pyrrolidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-96-2 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(dimethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-98-4 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-97-3 CMF C33 H47 N O3

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c|c} & \text{CO}_2\text{H} \\ | & \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | & \\ \text{OH} \end{array}$$

RN 355861-39-1 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[2-(diethylamino)ethoxy]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 355861-40-4 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 7-methyl-21-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, (7.alpha.)- (9CI) (CA INDEX NAME)

	ᇄ		

(RAU)	Year  (RPY)	(RVL)	(RPG)	(RWK)	Referenced   File
Anner	+====-  1967	+====- 	+===== 	· ·	+=======   HCAPLUS
Anon	1964			FR 3012 M	1
Anon	1965	!	ĺ	BE 661288	
Anon	1987			WO 8700175	HCAPLUS
Anon	1998	l		IWO 9807740	HCAPLUS
Benn	1967	1		US 3318917	HCAPLUS
Benn	1969	!		US 3448126	HCAPLUS
Bertin	1966	1	1	US 3291690	t
Blickenstaff	11985	46	889	Steroids	1
Bohlmann	1999		ĺ	IUS 5866560	HCAPLUS
Bonfils	11997			IUS 5679668	HCAPLUS
Bonfils	11997	[		IUS 5679688	HCAPLUS
Bundy	11993		ĺ	US 5274089	HCAPLUS
Clark	11994			US 5371078	HCAPLUS
Colton	11954	[		US 2666769	HCAPLUS
Colton	1970	1	1	US 3536703	HCAPLUS
Counsell	1968		ĺ	US 3405147	HCAPLUS
Hogg	1958		1	US:2840581	HCAPLUS
Johnson	1997		ĺ	US 5621123	HCAPLUS
Kim	1996		ĺ	US 5554603	HCAPLUS
Krubiner	11973		l	US 3716530	HCAPLUS
Lefebvre	1969	[	ĺ	US 3431258	HCAPLUS
Miyairi	1991	56	361	Steroids	HCAPLUS
Nique	1995	20	362	Drugs of the Future	l
Peters	1988	32	1642	J Med Chem	ĺ
Peters	1989	32	2306	J Med Chem	HCAPLUS
Poirier	1996	16	2537	Bioorganic & Medicin	HCAPLUS
Qian	1988	29	1657	J Steroid Biochem	HCAPLUS
Rao	1994	59	621	Steroids	HCAPLUS
Rubio-Poo	1997	7	167	Med Chem Res	HCAPLUS
van de Velde	1995	761	164	Ann N Y Acad Sci	HCAPLUS
van de Velde	1994	42	130	Pathol Biol	
Villani	1966			US 3271428	HCAPLUS
Wakeling	1991	51	3867 .		HCAPLUS
Wakeling	1988	31	645	J Steroid Biochem	HCAPLUS
Wakeling	1990	137	771	J Steroid Biochem Mo	HCAPLUS
Young	1975	1		IUS 3859365	HCAPLUS
Yuan	1982	39	1279	Steroids	HCAPLUS

AN 2001:598005 HCAPLUS 135:180905 DN

ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2002 ACS

TΙ Preparation of antiestrogenic steroids

IN. Peters, Richard H.; Liu, Jyanwei; Johansson, John G.; Ryan, Kenneth J.; Chao, Wan-ru; Tanabe, Masato

PA Sri International, USA

SO PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

L14

	PATENT NO. KI	IND DATE	APPLICATION NO.	DATE
PI	WO 2001058919 F	A2 20010816	WO 2001-US4266	20010209
	WO 2001058919 F	A3 20020502		
	W: CA, JP			
	RW: AT, BE, CH,	, CY, DE, DK,	ES, FI, FR, GB, GR, IE,	IT, LU, MC, NL,
	PT, SE, TR			
	US 2001039269 F	A1 20011108	·US 2001-780990	20010209
PRAI	US 2000-181738P F	P 20000211		
os	MARPAT 135:180905			
GI				

Steroid derivs., such as, [I; R1 = H, alkyl; R2 = H, OH, alkyl, alkoxyl, AΒ thioalkyl; R3-R5, R7, R9 = H, alkyl; R6 = H, alkyl, acyl, etc.; R8 = H, OH, oxo, alkoxyl, acyloxy; R10 = Me, Et; R20 = OH, CH2OH, -(CH2)m-O-p-(subs)C6H4-(CH2)p-1(CO)tNR21R22; m = 0-1; p = 1-7; t = 0-1;R21, R22 = alkyl; R21R22 = cycloalkyl, heterocycloalkyl], or a pharmaceutically acceptable salt thereof, were prepd to treat a variety of

II

disorders, particularly estrogen-dependent disorders including prostatic cancer. Thus, 3-hydroxy-7.alpha.-methyl-21-[2'-methoxy-4'-(diethylaminomethyl)phenoxy]-19-norpregna-1,3,5(10)triene citrate ("SR 16234") was prepd. via a multistep synthetic sequence starting from estrone-3-Me ether. SR 16312 (II) exhibited 100% inhibition on androgen-independent human prostate cancer cells, DU145 cells and androgen-dependent PC-3 cells.

IT 354808-46-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of antiestrogenic steroids)

RN 354808-46-1 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[(7.alpha.)-3-hydroxy-7-methyl-19-norpregna-1,3,5(10)-trien-21-yl]oxy]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

### IT 354808-47-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of antiestrogenic steroids)

RN 354808-47-2 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[(7.alpha.)-3-hydroxy-7-methyl-19-norpregna-1,3,5(10)-trien-21-yl]oxy]-3-methoxy-, 2-hydroxy-1,2,3propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 354808-46-1 CMF C33 H45 N O4

CM 2

CRN 77-92-9 CMF C6 H8 O7

## IT 354758-86-4P 354758-87-5P 354758-98-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of antiestrogenic steroids)

RN 354758-86-4 HCAPLUS

CN Benzamide, N, N-diethyl-3-methoxy-4-[[(7.alpha.)-7-methyl-3-(1-methylethoxy)-6-oxo-19-norpregna-1,3,5(10)-trien-21-yl]oxý]- (9CI) (CA INDEX NAME)

RN 354758-87-5 HCAPLUS

CN Benzamide, N, N-diethyl-3-methoxy-4-[[3-(1-methylethoxy)-6-oxo-19-norpregna-1,3,5(10)-trien-21-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 354758-98-8 HCAPLUS

CN Benzamide, N,N-diethyl-3-methoxy-4-[[(7.alpha.)-7-methyl-3-[(methylsulfonyl)oxy]-19-norpregna-1,3,5(10)-trien-21-yl]oxy]- (9CI) (CAINDEX NAME)

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ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2002 ACS
ΑN
     1999:460439 HCAPLUS
DN
     131:88084
ΤI
     Preparation of novel antiestrogenic steroids
    Tanabe, Masato; Peters, Richard H.; Chao,
    Wan-Ru; Jong, Ling
PA
     SRI International, USA
SO
     PCT Int. Appl., 142 pp.
    CODEN: PIXXD2
DT
     Patent
LA
    English
FAN.CNT 3
    PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
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    WO 9933859
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    WO 9933859
                     A3
                            19991223
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             KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
            MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
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                                                            19971224
                      A
    AU 9920104
                            19990719
                                           AU 1999-20104
                                                            19981223
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                            20001206
                                           EP 1998-964882
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    JP 2001525855
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                            20000821
                                           NO 2000-3254
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PRAI US 1997-998877
                       Α
                            19971224
    WO 1998-US27406
                      W
                            19981223
OS
    MARPAT 131:88084
GI
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$$R^{9}$$
 $R^{10}$ 
 $R^$ 

AB Novel anti-estrogenic compds., e.g. I [X = hydrocarbyl including at least one O, N, S; X1 = H, hydrocarbyl including at least one O, N, S; XX1 = heterocycle; Y = C, N; R1 = H, alkyl, halo, alkylidene; R2, R3 = H, OH, alkyl, alkenyl, aryl, etc.; R4 = H, alkyl; R5 = H, alkoxy, halo, CN, CHO, etc.; R6 = H, alkyl, acyl, aroyl, SO2NH2; R7 = H, halo, NO2, CHO, allyl, amino, etc.; R8 = H, OH, etc.; R9 = H, alkyl; R10 = Me, Et], are prepd. which are useful to treat a variety of disorders, particularly estrogen-dependent disorders. Preferred compds. have 1,3,5-estratriene nucleus, and are substituted at the C-17 or C-11 position with a mol. moiety which renders the compds. effective to competitively block the binding of estrogen to its receptor. Particularly preferred compds. are 17-desoxy-1,3,5-estratrienes. Thus, the citrate salt of II was prepd. and was shown to have antitumor activity against tamoxifen-resistant human mammary carcinoma at a dose of 25mg/kg/day.

IT 229634-92-8P 229634-97-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of novel antiestrogenic steroids)

RN 229634-92-8 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

RN 229634-97-3 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 229634-93-9P 229634-94-0P 229634-95-1P 229634-96-2P 229634-98-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel antiestrogenic steroids)

RN 229634-93-9 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[3-methoxy-4-(1-piperidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-92-8 CMF C34 H47 N O3

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

RN 229634-94-0 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-[(4-methyl-1-piperazinyl)methyl]phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-95-1 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[2-methoxy-4-(1-pyrrolidinylmethyl)phenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-96-2 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(dimethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229634-98-4 HCAPLUS

CN 19-Norpregna-1,3,5(10)-trien-3-ol, 21-[4-[(diethylamino)methyl]-2-methoxyphenoxy]-7-methyl-, (7.alpha.)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229634-97-3 CMF C33 H47 N O3

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

### => d his

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L1
L2
                SCR 1992
L3
              1 S L1 AND L2
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L5
              2 $ L2 AND L4
L6
                STR L4
L7
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FILE 'HCAPLUS' ENTERED AT 15:53:53 ON 09 JUL 2002

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                (EP594612/PN)
=> d 12
    ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
L2
    1993:32946 CAPLUS
AN
DN
    118:32946
    A substance (.beta.-sitostanol fatty acid esters) for lowering high
ΤI
    cholesterol level in serum and a method for preparing the same
    Miettinen, Tatu; Vanhanen, Hannu; Wester, Ingmar
ΙN
    Raision Margariini Oy, Finland
PΑ
    PCT Int. Appl., 27 pp.
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                                        APPLICATION NO. DATE
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    WO 9219640
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